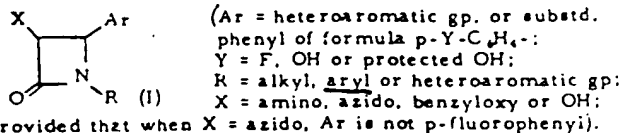


84325 D/46 B03 SAGA 07.03.80
SAGAMI CHEM RES CENTRE *J5 6125-360
07.03.80-JP-028057 (01.10.81) C07d-205/08 C07d-401/04 C07d-403/04 C07d-405/04 C07d-407/04 C07d-409/04
Growth regulator intermediate beta-lactam cpds. - convertible into alpha oxyacid amide(s) or alpha aminoacid amide(s)

B(7-D1). 1

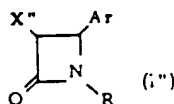
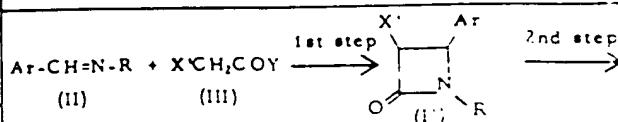
β -Lactam cpds. of formula (I) are new:



USE/ADVANTAGE

(I) on cleavage of the β -lactam ring can be converted into α -hydroxy acid amides or α -amino acid amides, e.g. tryptophan, tyrosine or p-fluorophenylalanine amides. p-Fluorophenylalanine amide is useful as a growth regulator for animals; other amino acid amides can be converted into physiologically active substances.

PREPARATION



(X' = benzyloxy or azido; X'' = OH or amino; Z = halogen or OH; provided that when X' = azido, Ar is not p-fluorophenyl).
1st step: The reaction is conducted in a solvent, e.g. PhH, PhMe, THF, CH₂Cl₂, in presence of a tertiary amine, e.g. Et₃N, Pr₃N, Bu₃N, pyridine, N-methylpiperidine, N-methylpyrrolidine, 1,8-di-azabicyclo [5.4.0]-7-undecene, at a temp. of -78 to 100°C.
2nd step: The reaction is achieved by hydrogenolysis with

J56125360+

a catalyst, e.g. Pd black, Pd-C, in a solvent, e.g. MeOH, EtOH, CH₂Cl₂, CHCl₃, PhH, PhMe, THF, MeCN, DMF, at from room temp. to 150°C, pref. 50-100°C.

EXAMPLE

To a soln. of 4.00 g 2-furylmethylideneaniline and 3.07 g Et₃N in 50 ml PhH was dropwise added slowly a soln. of 5.61 g benzyloxyacetyl chloride in 50 ml PhH under ice cooling, and the mixt. was slowly warmed up to room temp., stirred for 15 hrs., then washed with water, dried on MgSO₄, and evapd. in vacuo to give 7.64 g yellow solid. This was chromatographed on a column of silica gel (Wako gel C-200) and eluted with n-hexane-EtOAc (9:1) to give cis-1-phenyl-3-benzyloxy-4-(2'-furyl)azetidin-2-one as white crystals, m.pt. 100-101°C, and the trans-isomer, as white crystals, m.pt. 115.5 - 117°C. (9ppw52).

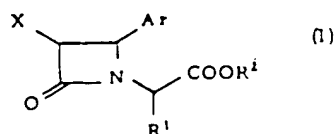
J56125360

84326 D/46 B03 SAGA 07.03.80
SAGAMI CHEM PES CENTRE *J5 6125-361
07.03.80-JP-028059 (01.10.81) C07d-205/08
Azetidinone cpds. - which are cleavable to form physiologically active di:peptide(s)

B(7-D1) N(2-F1, 2-F2)

138

Azetidinone cpds. of formula (I) are new:

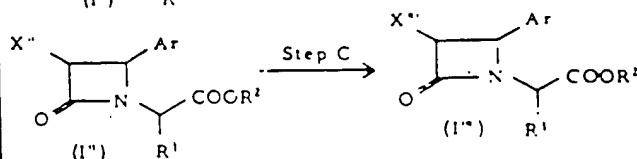
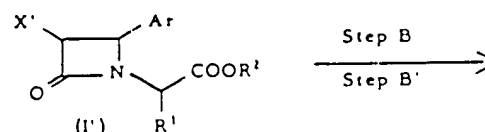
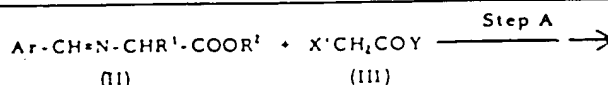


(Ar = aromatic gp.; R¹ = H, alkyl or aryl; R² = alkyl or aryl; X = amino, acylamino, azido, benzyloxy or OH).

USE/ADVANTAGE

(I) on cleavage of the azetidinone ring can be converted into physiologically active dipeptides.

PREPARATION



(X' = benzyloxy or azido; X'' = OH or amino; X''' = acylamino;

J56125361+